

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
10 March 2005 (10.03.2005)

PCT

(10) International Publication Number  
**WO 2005/021003 A3**

(51) International Patent Classification<sup>7</sup>: **A61K 31/519**,  
31/5377, 31/541, 45/06, C07D 475/04, 475/08, 475/00,  
A61P 37/00, 37/02, 37/06, 9/00, 25/00, 35/00

(21) International Application Number:  
PCT/BE2004/000124

(22) International Filing Date: 27 August 2004 (27.08.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
10/651,604 29 August 2003 (29.08.2003) US  
0408955.3 22 April 2004 (22.04.2004) GB

(71) Applicant (for all designated States except US): **4 AZA BIOSCIENCE NV** [BE/BE]; Kapucijnenvoer 33, B-3000 Leuven (BE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **WAER, Mark, Jozef, Albert** [BE/BE]; Waversebaan 263, B-3001 Heverlee (BE). **HERDEWIJN, Piet, André, Maurits, Maria** [BE/BE]; Olivierstraat 21, B-3111 Rotselaar/Wezemaal (BE). **PFLEIDERER, Wolfgang, Eugen** [DE/DE]; Lindauerstrasse 47, D-78464 Konstanz (DE). **MARCHAND, Arnaud, Didier, Marie** [FR/BE]; Armand Thiérylaan 10, B-3001 Heverlee (BE). **DE JONGHE, Steven, Cesar, Alfons** [BE/BE]; Opaallaan 83, B-1030 Brussel (BE).

(74) Agents: **BIRD, William et al.**; Bird Goën & Co., Klein Dalenstraat 42A, B-3020 Winksele (BE).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Declaration under Rule 4.17:**

— of inventorship (Rule 4.17(iv)) for US only

**Published:**

— with international search report  
— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(88) Date of publication of the international search report:  
9 June 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: IMMUNOSUPPRESSIVE EFFECTS OF PTERIDINE DERIVATIVES

(57) Abstract: This invention relates to a group of trisubstituted and tetrasubstituted pteridine derivatives, their pharmaceutically acceptable salts, N-oxides, solvates, dihydro- and tetrahydro- derivatives and enantiomers, possessing unexpectedly desirable pharmaceutical properties, in particular which are highly active immunosuppressive agents, and as such are useful in the treatment in transplant rejection and/or in the treatment of certain inflammatory diseases. These compounds are also useful in preventing or treating cardiovascular disorders, allergic conditions, disorders of the central nervous system and cell proliferative disorders.



WO 2005/021003 A3